## **WE CLAIM:**

1. A compound comprising the formula:

(I)

 $G = \begin{bmatrix} \begin{matrix} R_1 \\ C \\ R_2 \end{matrix} \end{bmatrix}_a \begin{bmatrix} M_1 \end{bmatrix}_b = \begin{bmatrix} \begin{matrix} Y_1 \\ C \end{matrix} \end{bmatrix}_c \begin{matrix} E_4 \end{matrix}$ 

5 wherein:

or 
$$= \begin{bmatrix} \frac{R_3}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{d2} \begin{bmatrix} \frac{Y_2}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{f2} \begin{bmatrix} \frac{R_5}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{g2} \begin{bmatrix} \frac{R_7}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{f2} \begin{bmatrix} \frac{R_9}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{f2} \begin{bmatrix} \frac{Y_3}{C} \\ \frac{Y_3}{C} \end{bmatrix}_{f2} \begin{bmatrix} \frac{Y_3}{C} \\ \frac{Y_3}{C$$

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 $E_{1-4}$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyls,  $C_{3-12}$  branched alkyls,  $C_{3-8}$  cycloalkyls,  $C_{1-6}$  substituted alkyls,  $C_{3-8}$  substituted cycloalkyls, aryls, substituted aryls, aralkyls,  $C_{1-6}$  heteroalkyls, substituted  $C_{1-6}$  heteroalkyls,  $C_{1-6}$  alkoxy, phenoxy,  $C_{1-6}$  heteroalkoxy,

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$$\frac{ \left[ \begin{matrix} R_3 \\ C \\ R_4 \end{matrix} \right]_{d1} \left[ \begin{matrix} Y_1 \\ C \end{matrix} \right]_{f1} \left[ \begin{matrix} R_5 \\ C \\ R_6 \end{matrix} \right]_{g1} \left[ \begin{matrix} M_3 \end{matrix} \right]_{h1} \left\{ \begin{matrix} R_7 \\ C \\ R_8 \end{matrix} \right]_{i1} \left[ \begin{matrix} M_4 \end{matrix} \right]_{j1} \left\{ \begin{matrix} R_9 \\ C \\ R_{10} \end{matrix} \right]_{i1} \left[ \begin{matrix} M_5 \end{matrix} \right]_{m1} - \begin{matrix} Y_3 \\ C \\ C \end{matrix} - \begin{matrix} M_5 \end{matrix} \right]_{m1} \left[ \begin{matrix} M_5 \end{matrix} \right]_{m1} - \begin{matrix} Y_3 \\ C \\ R_{10} \end{matrix} - \begin{matrix} Y_3 \\ Y_3 \end{matrix} - \begin{matrix} Y_3 \\ Y_4 \end{matrix} - \begin{matrix} Y_4 \\ Y_5 \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \begin{matrix} Y_5 \\ Y_5 \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \begin{matrix} Y_5 \\ Y_5 \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \begin{matrix} Y_5 \\ Y_5 \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \begin{matrix} Y_5 \\ Y_5 \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \begin{matrix} Y_5 \\ Y_5 \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \begin{matrix} Y_5 \end{matrix} - \begin{matrix}$$

or 
$$= \begin{bmatrix} \frac{R_3}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{d2} = \begin{bmatrix} \frac{Y_1}{C} \\ \frac{1}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{d2} = \begin{bmatrix} \frac{R_5}{C} \\ \frac{1}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{i2} = \begin{bmatrix} \frac{R_9}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{i2} = \begin{bmatrix} \frac{Y_3}{C} \\ \frac{1}{C} \end{bmatrix}_{i2} = \begin{bmatrix} \frac{Y_3}{C} \\ \frac{1}{C} \end{bmatrix}_{i2} = \begin{bmatrix} \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{$$

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and at least one of E<sub>1-4</sub> includes a B moiety;

B is a leaving group, OH, a residue of a hydroxyl-containing moiety, a residue of an amine-containing moiety or

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wherein E<sub>5</sub> is independently selected from the same group which defines

$$E_{1-4}$$
;  
 $J_1$  is  $-C - E_{2a}$ ,  
 $E_{3a}$ 

30  $(E_{1a-3a})$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyls,

 $C_{3-12}$  branched alkyls,  $C_{3-8}$  cycloalkyls,  $C_{1-6}$  substituted alkyls,  $C_{3-8}$  substituted cycloalkyls, aryls, substituted aryls, aralkyls,  $C_{1-6}$  heteroalkyls, substituted  $C_{1-6}$  heteroalkyls,  $C_{1-6}$  alkoxy, phenoxy,  $C_{1-6}$  heteroalkoxy,

or 
$$- \begin{bmatrix} R_{3b} \\ \dot{C} \\ \dot{R}_{4b} \end{bmatrix}_{d4} = \begin{bmatrix} Y_{2b} \\ \dot{C} \\ \dot{R}_{6b} \end{bmatrix}_{f4} \begin{bmatrix} R_{5b} \\ \dot{C} \\ \dot{R}_{6b} \end{bmatrix}_{g4} = \begin{bmatrix} R_{7b} \\ \dot{C} \\ \dot{R}_{8b} \end{bmatrix}_{i4} = \begin{bmatrix} R_{9b} \\ \dot{C} \\ \dot{R}_{10b} \end{bmatrix}_{i4} = \begin{bmatrix} Y_{3b} \\ \dot{C} \\ \dot{R}_{10b} \end{bmatrix}_{i4} = \begin{bmatrix} Y_{3b} \\ \dot{C} \\ \dot{R}_{10b} \end{bmatrix}_{i4} = \begin{bmatrix} Y_{3b} \\$$

wherein B<sub>1</sub> is a leaving group, OH, a residue of a hydroxyl-containing moiety or a residue of an amine-containing moiety or E<sub>6</sub>

wherein  $E_6$  is independently selected from the same group which defines  $E_{1-4}$ ;

J<sub>2</sub> is 
$$-\overset{\mathsf{E}_{1b}}{\overset{\mathsf{C}_{-\mathsf{E}_{2b}}}{\overset{\mathsf{E}_{3b}}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}}{\overset{\mathsf{E}_{3b}}}{\overset{\mathsf{E}_{3b}}}}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}{\overset{\mathsf{E}_{3b}}}}}}}}}}}}}}}}}$$

wherein E<sub>1b-3b</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls,

substituted C<sub>1-6</sub> heteroalkyls, C<sub>1-6</sub> alkoxy, phenoxy, C<sub>1-6</sub> heteroalkoxy,

$$\begin{array}{c} \text{or} \\ & - \begin{bmatrix} \overset{\textstyle R}{\overset{}}_{3d} \\ \overset{\textstyle C}{\overset{}}_{4d} \end{bmatrix}_{d6} & \begin{bmatrix} \overset{\textstyle Y_{2d}}{\overset{}}_{16} & \overset{\textstyle R_{5d}}{\overset{}}_{6d} & [M_{3d}]_{h6} \\ \overset{\textstyle C}{\overset{}}_{R_{6d}} & \overset{\textstyle G}{\overset{}}_{16} & [M_{4d}]_{j6} & \overset{\textstyle Y_{3d}}{\overset{}}_{16} & [M_{5d}]_{m6} \\ \end{array} \\ \begin{array}{c} \overset{\textstyle Y_{3d}}{\overset{}}_{R_{6d}} & \overset{\textstyle Y_{3d}}{\overset{}}_{R_{6d}} & \overset{\textstyle Y_{3d}}{\overset{}}_{R_{6d}} & [M_{5d}]_{m6} & \overset{\textstyle Y_{3d}}{\overset{}}_{R_{6d}} & \overset{\textstyle Y_{3d}}{\overset{}}_{R_{6d}}$$

wherein B<sub>2</sub> is a leaving group, OH, a residue of a hydroxyl-containing moiety or a residue of an amine-containing moiety;

G is a polymeric residue;

 $Y_{\text{1-3}},\,Y_{\text{2a-d}}$  and  $Y_{\text{3a-d}}$  are each independently  $O,\,S$  or  $NR_{\text{11a}}$ 

 $M_{1\text{--}4},\,M_{2a\text{--}2d},\,M_{3a\text{--}3d},$  and  $M_{4a\text{--}4d}$  are each independently O, S or NR  $_{11b};$ 

 $M_5$  and  $M_{5a-d}$  are each independently X or Q,

wherein X is an electron withdrawing group and Q is a moiety containing a free electron pair positioned three to six atoms from  $C(=Y_3)$  or  $C(=Y_{3a-d})$ ;

 $R_{1\text{--}10}$ ,  $R_{1\text{a--}11\text{a}}$ ,  $R_{1\text{b--}11\text{b}}$ ,  $R_{1\text{c--}10\text{c}}$  and  $R_{1\text{d--}10\text{d}}$  are each independently selected from the group consisting of hydrogen,  $C_{1\text{--6}}$  alkyls,  $C_{3\text{--}12}$  branched alkyls,  $C_{3\text{--8}}$  cycloalkyls,  $C_{1\text{--6}}$  substituted alkyls,  $C_{3\text{--8}}$  substituted cycloalkyls, aryls, substituted aryls, aralkyls,  $C_{1\text{--6}}$  heteroalkyls, substituted  $C_{1\text{--6}}$  heteroalkyls,  $C_{1\text{--6}}$  alkoxy, phenoxy and

C1-6 heteroalkoxy; and

a, b, c, d1-d6, e1-e6, f1-f6, g1-g6, h1-h6, i1-i6, j1-j6, k1-k6, l1-l6, m1-m6 are each independently zero or a positive integer.

2. The compound of claim 1, wherein G further comprises a capping group A, which is selected from the group consisting of hydrogen, CO<sub>2</sub>H, C<sub>1-6</sub> alkyl moieties, and

wherein a, b, c,  $R_{1-2}$ ,  $M_1$ ,  $Y_1$ ,  $E_4$  and J are the same as set forth in claim 1.

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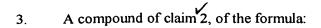
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$$\begin{array}{c}
E_4 \\
N - \begin{bmatrix} Y_1 \\ C \end{bmatrix}_c [M_1]_b - \begin{bmatrix} R_1 \\ C \end{bmatrix}_a G - \begin{bmatrix} R_1 \\ C \end{bmatrix}_a [M_1]_b - \begin{bmatrix} Y_1 \\ C \end{bmatrix}_c N
\end{array}$$

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- 4. The compound of claim 1, where a, b, c, d1-d6, e1-e6, f1-f6, g1-g6, h1-h6, i1-i6, j1-j6, k1-k6, l1-l6, m1-m6 are independently zero, one or two.
- The compound of claim  $^{b}$ , wherein  $R_1$  and  $R_2$  are both H, a and c are one,  $Y_1$  is O and both  $E_1$  and  $E_4$  are H.
  - 6. The compound of claim 1, wherein G is polyalkylene oxide residue.
- 7. The compound of claim 6, wherein G is a polyethylene glycol residue.
  - 8. The compound of claim 1, wherein G is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>x</sub> or -O-(CH(CH<sub>3</sub>)CH<sub>2</sub>O)<sub>x</sub>,

wherein x is the degree of polymerization.

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- 9. The compound of claim 8, wherein G is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>x</sub> and x is a positive integer so that the weight average molecular weight is at least about 20,000.
- The compound of claim 9, wherein G has a weight average molecular weight of from about 20,000 to about 100,000.
  - 11. The compound of claim 10, wherein G has a weight average molecular weight of from about 25,000 to about 60,000.

- 12. The compound of claim 1, wherein B is a residue of an amine containing moiety.
  - 13. The compound of claim 12, wherein said amine-containing moiety is

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wherein

 $R_{12\text{-}13} \ \text{are independently selected from the group consisting of hydrogen,}$   $C_{1\text{-}6} \ \text{alkyls}, \ C_{3\text{-}12} \ \text{branched} \ \ \text{alkyls}, \ C_{3\text{-}8} \ \text{cycloalkyls}, \ C_{1\text{-}6} \ \ \text{substituted alkyls},$ 

 $C_{3-8}$  substituted cycloalkyls, aryls, halo, substituted aryls, aralkyls,  $C_{1-6}$  heteroalkyls, substituted  $C_{1-6}$  heteroalkyls;

 $R_{14\text{-}18}$  are independently selected from alkoxy, e.g.  $OR_{19}$  or, in the alternative, H, OH, N<sub>3</sub>, NHR<sub>20</sub>, NO<sub>2</sub> or CN, fluoro, chloro, bromo, iodo, where  $R_{19\text{-}20}$  are independently selected from the same group which defines  $R_{12\text{-}13}$ .

14. A compound of claim 3, selected from the group consisting of:

wherein Z is one of:

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and 
$$-NH - (CH_2 - CH_2 - CH_$$

## 15. A method of preparing a polymeric transport system, comprising

a) reacting compound of the formula:

$$B_{3}[M_{3}]_{h1} = \begin{bmatrix} R_{7} \\ C \\ R_{8} \end{bmatrix}_{i1} \begin{bmatrix} M_{4}J_{j1} \\ R_{10} \end{bmatrix}_{k1} \begin{bmatrix} R_{9} \\ C \\ R_{10} \end{bmatrix}_{l1} \begin{bmatrix} M_{5}J_{m1} - C - B \end{bmatrix}$$

wherein

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B is a residue of a biologically active amine-containing moiety or a hydroxyl-containing moiety;

B<sub>3</sub> is a cleavable protecting group;

10  $Y_3$  is O, S, or  $NR_{11a}$ ;

M<sub>3</sub> and M<sub>4</sub> are independently O, S, or NR<sub>11b</sub>;

M<sub>5</sub> is X or Q;

wherein X is an electron withdrawing group and Q is a moiety containing a free electron pair positioned three to six atoms from  $C(=Y_3)$ ;

R<sub>7-10</sub> and R<sub>11a-b</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls and substituted C<sub>1-6</sub> heteroalkyls;

h1-m1 are each independently zero or a positive integer;

- b) cleaving the cleavable protecting group B<sub>3</sub>; and
  - c) reacting the resultant compound with a compound of the formula

$$G = \begin{bmatrix} R_1 \\ C \\ R_2 \end{bmatrix} \begin{bmatrix} M_1 \end{bmatrix}_b = \begin{bmatrix} Y_1 \\ C \\ \end{bmatrix}_c \begin{bmatrix} E'_4 \end{bmatrix}$$

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wherein

E'<sub>1.4</sub> are independently selected from the group consisting of hydrogen,
 C<sub>1.6</sub> alkyls, C<sub>3.12</sub> branched alkyls, C<sub>3.8</sub> cycloalkyls, C<sub>1.6</sub> substituted alkyls,

 $C_{3-8}$  substituted cycloalkyls, aryls, substituted aryls, aralkyls,  $C_{1-6}$  heteroalkyls, substituted  $C_{1-6}$  heteroalkyls,  $C_{1-6}$  alkoxy, phenoxy,  $C_{1-6}$  heteroalkoxy,

$$\frac{\begin{bmatrix} R_3 \\ C \\ R_4 \end{bmatrix}_{d1} \begin{bmatrix} M_2]_{e1} + \begin{bmatrix} Y_2 \\ C \end{bmatrix}_{f1} \begin{bmatrix} R_5 \\ C \\ R_6 \end{bmatrix}_{g1} B_4 \text{ or } \frac{\begin{bmatrix} R_3 \\ C \\ R_4 \end{bmatrix}_{d2} \begin{bmatrix} M_2]_{e2} + \begin{bmatrix} Y_2 \\ C \end{bmatrix}_{f2} \begin{bmatrix} R_5 \\ C \\ R_6 \end{bmatrix}_{g2} B_4 }$$

wherein

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B<sub>4</sub> is a leaving group;

G is a polymer residue;

Y<sub>1-2</sub> are independently O, S, or NR<sub>11a</sub>;

 $M_{1-2}$  are independently O, S, or  $NR_{11b}$ ;

 $R_{1-6}$ ,  $R_9$  and  $R_{10}$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyls,  $C_{3-12}$  branched alkyls,  $C_{3-8}$  cycloalkyls,  $C_{1-6}$  substituted alkyls,  $C_{3-8}$  substituted cycloalkyls, aryls, substituted aryls, aralkyls,  $C_{1-6}$  heteroalkyls and substituted  $C_{1-6}$  heteroalkyls;

a, b, c,  $d_1$ - $g_1$  and  $d_2$ - $g_2$  are each independently zero or a positive integer, whereby a polymeric conjugate is formed.

16. A method of preparing a polymeric transport system, comprising:
reacting a biologically active moiety containing an unprotected amino or
hydroxyl group with polymeric residue containing a terminal moiety of the formula:

wherein:

 $Y_3$  is O, S, or  $NR_{11a}$ ;

R<sub>7-10</sub> and NR<sub>11a</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls and substituted C<sub>1-6</sub> heteroalkyls;

M<sub>4.5</sub> are independently O, S, or NR<sub>11b</sub>:

30 B<sub>5</sub> is a leaving group capable of reacting with an unprotected amino or

hydroxyl group of a biologically active moiety; and i1-m1 are each independently zero or a positive integer, whereby a polymeric conjugate is formed.

- 5 17. A method of treatment, comprising:
  administering to a mammal in need of such treatment an effective amount of a
  compound of claim 1, wherein B is a residue of a biologically active moiety.
- 18. A method of treatment, comprising:administering to a mammal in need of such treatment an effective amount of a
- administering to a mammal in need of such treatment an effective amount of a compound of claim 3, wherein B is a residue of a biologically active moiety.